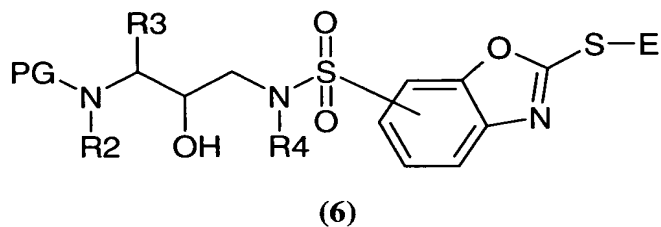


LISTING OF CLAIMS

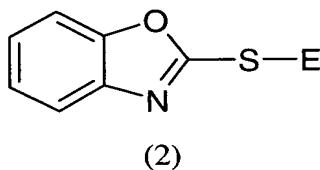
This listing of claims replaces all prior versions, and listings, of claims in the captioned application.

1. (Currently Amended) A method for preparing a compound of formula (6),



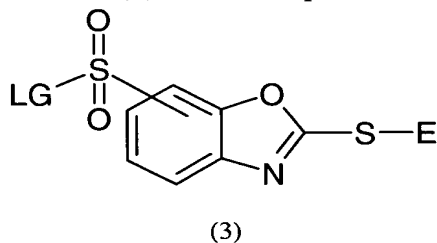
and salts, stereoisomeric forms, and racemic mixtures thereof, ~~wherein characterized in that~~ said method comprises the following steps:

(a) transforming ~~starts from~~ a compound of formula (2),



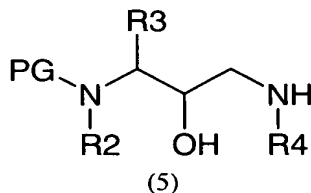
wherein E is an electrophilic moiety;

~~transforming compound of formula (2)~~ into a compound of formula (3),



wherein LG is a leaving group; and

(b) reacting compound of formula (3) with a compound of formula (5),



wherein

PG is a protecting group;

R₂ is hydrogen or C₁₋₆alkyl;

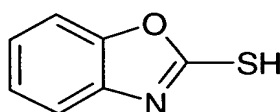
R₃ is C₃₋₇cycloalkyl, aryl, Het¹, Het², or C₁₋₆alkyl optionally substituted with C₃₋₇cycloalkyl, aryl, Het¹, or Het²; wherein each C₃₋₇cycloalkyl, aryl, Het¹, and Het² may be optionally substituted with one or more groups selected from oxo, C₁₋₆alkyloxy, C₁₋₆alkyl, C₁₋₆alkylsulfonyl, aminosulfonyl, amino, C₁₋₆alkylcarbonylamino, hydroxyC₁₋₆alkyl, cyano, C₁₋₆alkyloxycarbonyl, aminocarbonyl, halogen or trifluoromethyl, wherein each amino maybe mono- or disubstitued with C₁₋₆alkyl;

R₄ is selected from the group comprising hydrogen, C₁₋₄alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, C₃₋₇cycloalkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, or C₁₋₆alkyl optionally substituted with one or more substituents each independently selected from aryl, Het¹, Het², C₃₋₇cycloalkyl, C₁₋₄alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, aminosulfonyl, C₁₋₄alkyl-S(=O)_t, hydroxy, cyano, halogen and amino optionally mono- or disubstitued where the substituents are each independently selected from C₁₋₄alkyl, aryl, arylC₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkylC₁₋₄alkyl, Het¹, Het², Het¹C₁₋₄alkyl and Het²C₁₋₄alkyl; and

t is zero, one or two.

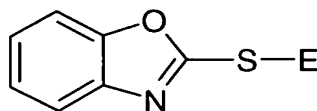
2. (Currently Amended) The A method according to claim 1 for preparing a compound of formula (6), said method comprising ~~characterized in that said method comprises the~~ steps of:

(a) alkylating a compound of formula (1)



(1)

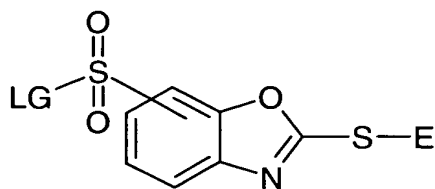
resulting into a compound of formula (2);



(2)

wherein E is a C₁₋₆alkyl;

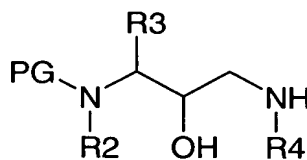
(b) reacting said compound of formula (2) with a sulfonation agent, resulting in a compound of formula (3);



(3)

wherein LG is a leaving group; and

(c) _____ coupling compound of formula (3) with a compound of formula (5).

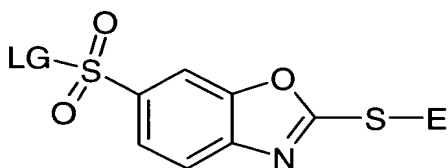


(5)

wherein PG is a protecting group; and

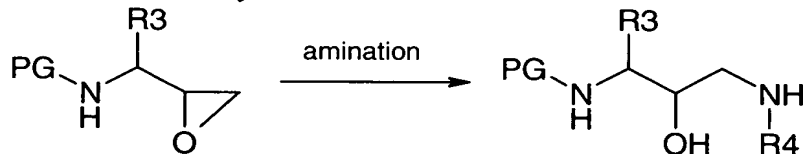
wherein R₂, R₃, and R₄ are as claimed in claim 1.

3. (Currently Amended) The A method according to claim 1 ~~any one of claims 1 to 2,~~
~~characterized in that~~ wherein said compound of formula (3) is a compound of formula (3''').



(3''')

4. (Currently Amended) A method according to claim 1 ~~any one of claims 1 to 3,~~
~~characterized in that~~ wherein said compound of formula (5) is obtained by amination of
an epoxide-containing compound of formula (4), and the amination reagent is H₂N-R₄,
~~wherein R₄ is as claimed in any one of claims 1 to 3.~~

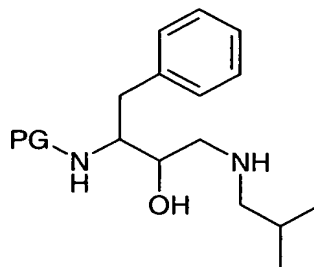


(4)

(5)

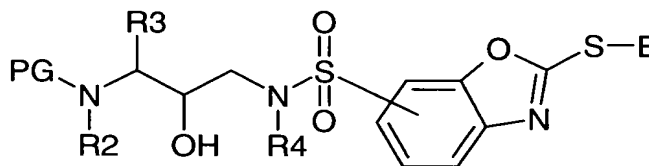
wherein R₄ is defined as in claim 1.

5. (Currently Amended) ~~The A~~ method according to claim 1 ~~any one of claims 1 to 4,~~
wherein said compound of formula (5) is compound of formula (5').



(5')

6. (Currently Amended) A compound having formula (6)



(6)

and salts, stereoisomeric forms, and racemic mixtures thereof, wherein characterized in
that PG, R₂, R₃, R₄, and E are as defined in claim 1 ~~any one of claims 1 to 5.~~

7. (Currently Amended) A compound according to claim 6, wherein characterized in
that

R₂ is hydrogen;

R₃ is arylC₁₋₄alkyl, arylmethyl, or phenylmethyl; and

R₄ is unsubstituted C₁₋₆alkyl or C₁₋₆alkyl substituted with one or more
substituents selected from aryl, Het¹, Het², C₃₋₇cycloalkyl and amino optionally mono-
or disubstituted where the substituents are selected from C₁₋₄alkyl, aryl, Het¹ and Het².

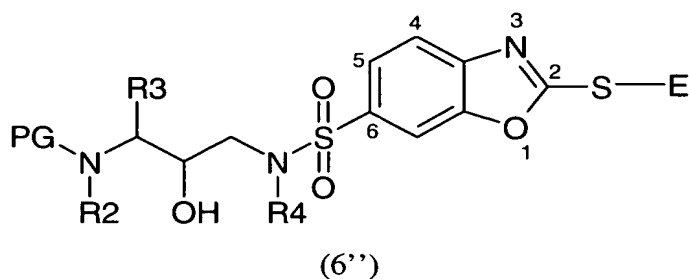
8. (Currently Amended) A compound according to claim 6, wherein any one of claims
6 to 7, characterized in that

R₂ is hydrogen;

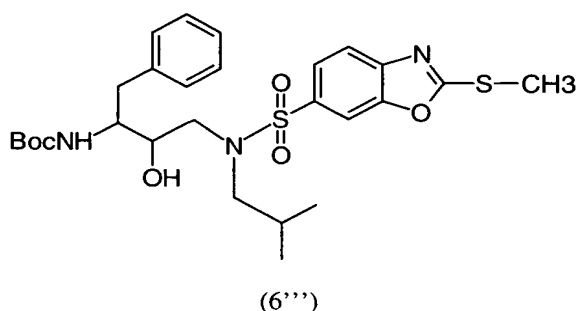
R₃ is phenylmethyl; and

R₄ is isobutyl.

9. (Currently Amended) A compound according to claim 6, wherein said any one of
claims 6 to 8, characterized in that the compound has formula (6'').



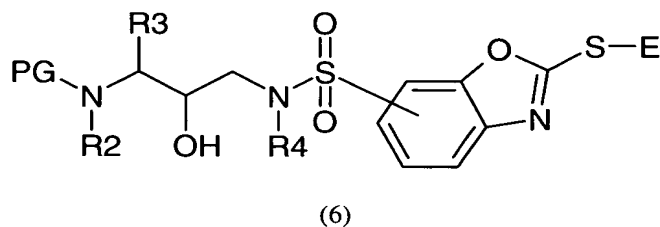
10. (Currently Amended) A compound according to claim 6 wherein ~~any one of claims 6 to 9, characterized in that~~ the compound has formula (6''').



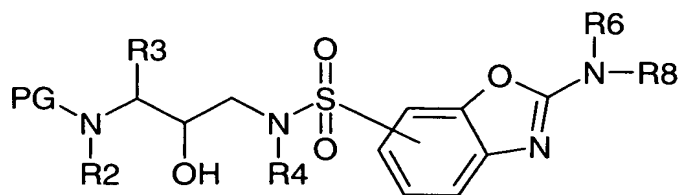
11. (Currently Amended) A compound according to claim 6 wherein ~~any one of claims 6 to 10, characterized in that~~ said compound comprises ~~is in the form of~~ a salt selected from trifluoroacetate, fumarate, chloroacetate and methanesulfonate.

12. (Currently Amended) The method of claim 1, ~~A method for preparing a compound of formula (9), wherein said method comprises the methods according to any one of claims 1 to 5, characterised in that said method further comprising the steps of:~~

(a) aminating a compound of formula (6)



and salts, stereoisomeric forms, and racemic mixtures thereof, wherein PG, R₂, R₃, R₄, and E are as defined in claim 1, to obtain compound of formula (7), ~~wherein~~



(7)

wherein PG , R_2 , R_3 , R_4 , and E are as defined in claim 1; and

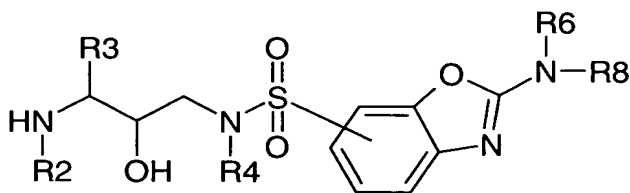
R_6 is hydrogen, hydroxy, C_{1-6} alkyl, Het^1C_{1-6} alkyl, Het^2C_{1-6} alkyl, amino C_{1-6} alkyl whereby the amino group may optionally be mono- or di-substituted with C_{1-4} alkyl;

R_8 is hydrogen, C_{1-6} alkyl, or $-A-R_7$;

A is C_{1-6} alkanediyl, $-C(=O)-$, $-C(=S)-$, $-S(=O)_2-$, C_{1-6} alkanediyl- $C(=O)-$, C_{1-6} alkanediyl- $C(=S)-$ or C_{1-6} alkanediyl- $S(=O)_2-$; whereby the point of attachment to the nitrogen atom is the C_{1-6} alkanediyl group in those moieties containing said group;

R_7 is C_{1-6} alkyloxy, Het^1 , Het^1oxy , Het^2 , Het^2oxy , aryl, aryloxy, C_{3-7} cycloalkyl, or optionally mono- or disubstituted amino; and in case $-A-$ is other than C_{1-6} alkanediyl then R_7 may also be C_{1-6} alkyl, Het^1C_{1-4} alkyl, Het^1oxyC_{1-4} alkyl, Het^2C_{1-4} alkyl, Het^2oxyC_{1-4} alkyl, aryl C_{1-4} alkyl, aryloxy C_{1-4} alkyl or amino- C_{1-6} alkyl; whereby each of the amino groups in the definition of R_7 may optionally be substituted with one or more substituents selected from C_{1-4} alkyl, C_{1-4} alkylcarbonyl, C_{1-4} alkyloxycarbonyl, aryl, arylcarbonyl, aryloxycarbonyl, Het^1 , Het^2 , aryl C_{1-4} alkyl, Het^1-C_{1-4} alkyl or Het^2C_{1-4} alkyl; and $-A-R_7$ may also be hydroxy C_{1-6} alkyl; and R_6 and $-A-R_7$ taken together with the nitrogen atom to which they are attached may also form Het^1 or Het^2 ;

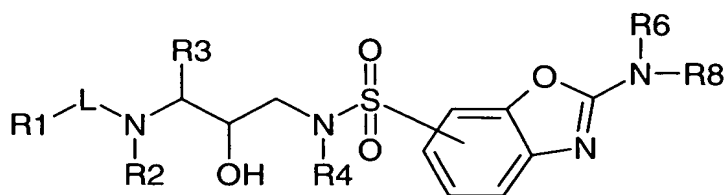
(b) deprotecting the compound of formula (7) to obtain compound of formula (8),



(8)

wherein R_2 , R_3 , R_4 , R_6 , and R_8 are as defined in step (a) and

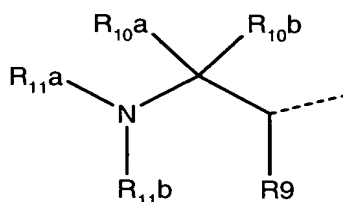
(c) coupling a radical of formula R_1-L- to obtain compound of formula (9),



(9)

and *N*-oxides, salts, stereoisomeric forms, racemic mixtures, prodrugs, esters and metabolites thereof, wherein

R₁ is selected from the group comprising hydrogen, C₁₋₆alkyl, C₂₋₆alkenyl, arylC₁₋₆alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkylC₁₋₆alkyl, aryl, Het¹, Het¹C₁₋₆alkyl, Het², Het²C₁₋₆alkyl; and **R₁** may also be a radical of formula (10)



(10)

R₉, R_{10a} and R_{10b} are, each independently, hydrogen, C₁₋₄alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, C₃₋₇cycloalkyl, C₂₋₆alkenyl, C₂₋₆alkynyl or C₁₋₄alkyl optionally substituted with aryl, Het¹, Het², C₃₋₇cycloalkyl, C₁₋₄alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, aminosulfonyl, C₁₋₄alkylS(O)_t, hydroxy, cyano, halogen or amino optionally mono- or disubstituted where the substituents are each independently selected from C₁₋₄alkyl, aryl, arylC₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkylC₁₋₄alkyl, Het¹, Het², Het¹C₁₋₄alkyl and Het²C₁₋₄alkyl; whereby R₉, R_{10a} and the carbon atoms to which they are attached may also form a C₃₋₇cycloalkyl radical;

when L is -O-C₁₋₆alkanediyl-C(=O)- or -NR₁₂-C₁₋₆alkanediyl-C(=O)-, **then R₉** may also be oxo;

R_{11a} is selected from the group comprising hydrogen, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₇cycloalkyl, aryl, aminocarbonyl optionally mono- or disubstituted, aminoC₁₋₄alkylcarbonyloxy optionally mono- or disubstituted, C₁₋₄alkyloxycarbonyl, aryloxycarbonyl, Het¹oxycarbonyl, Het²oxycarbonyl, aryloxycarbonylC₁₋₄alkyl, arylC₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyl, C₃₋₇cycloalkylcarbonyl, C₃₋₇cycloalkylC₁₋₄alkyloxycarbonyl, C₃₋₇cycloalkylcarbonyloxy, carboxylC₁₋₄alkylcarbonyloxy, C₁₋₄alkylcarbonyloxy, arylC₁₋₄alkylcarbonyloxy, arylcarbonyloxy, aryloxycarbonyloxy, Het¹carbonyl, Het¹carbonyloxy, Het¹C₁₋₄alkyloxycarbonyl, Het²carbonyloxy,

Het²C₁₋₄alkylcarbonyloxy, Het²C₁₋₄alkyloxy carbonyloxy or C₁₋₄alkyl optionally substituted with aryl, aryloxy, Het² or hydroxy; wherein the substituents on the amino groups are each independently selected from C₁₋₄alkyl, aryl, arylC₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkyl

C₁₋₄alkyl, Het¹, Het², Het¹C₁₋₄alkyl and Het²C₁₋₄alkyl;

R_{11b} is selected from the group comprising hydrogen, C₃₋₇cycloalkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, aryl, Het¹, Het² or C₁₋₄alkyl optionally substituted with halogen, hydroxy, C₁₋₄alkylS(=O)_t, aryl, C₃₋₇cycloalkyl, Het¹, Het², amino optionally mono- or disubstituted where the substituents are each independently selected from C₁₋₄alkyl, aryl, arylC₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkylC₁₋₄alkyl, Het¹, Het², Het¹C₁₋₄alkyl and Het²C₁₋₄alkyl;

whereby **R_{11b}** may be linked to the remainder of the molecule via a sulfonyl group; and

L is selected from the group comprising -C(=O)-, -O-C(=O)-, -NR₁₂-C(=O)-, -O-C₁₋₆alkanediyl-C(=O)-, -NR₁₂-C₁₋₆alkanediyl-C(=O)-, -S(=O)₂-, -O-S(=O)₂-, -NR₁₂-S(=O)₂ whereby either the C(=O) group or the S(=O)₂ group is attached to the NR₂ moiety; whereby the C₁₋₆alkanediyl moiety is optionally substituted with a substituent selected from hydroxy, aryl, Het¹, and Het²;

R₁₂ is hydrogen, C₁₋₆alkyl, C₂₋₆alkenyl, arylC₁₋₆alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkylC₁₋₆alkyl, aryl, Het¹, Het¹C₁₋₆alkyl, Het², Het²C₁₋₆alkyl;

R₂ is hydrogen or C₁₋₆alkyl;

R₃ is C₃₋₇cycloalkyl, aryl, Het¹, Het², or C₁₋₆alkyl optionally substituted with C₃₋₇cycloalkyl, aryl, Het¹, or Het²; wherein each C₃₋₇cycloalkyl, aryl, Het¹, and Het² may be optionally substituted with one or more groups selected from oxo, C₁₋₆alkyloxy, C₁₋₆alkyl,

C₁₋₆alkylsulfonyl, aminosulfonyl, amino, C₁₋₆alkylcarbonylamino, hydroxyC₁₋₆alkyl, cyano, C₁₋₆alkyloxy carbonyl, aminocarbonyl, halogen or trifluoromethyl, wherein each amino maybe mono- or disubstituted with C₁₋₆alkyl;

R₄ is selected from the group comprising hydrogen, C₁₋₄alkyloxy carbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, C₃₋₇cycloalkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, or C₁₋₆alkyl optionally substituted with one or more substituents each independently selected from aryl, Het¹, Het², C₃₋₇cycloalkyl, C₁₋₄alkyloxy carbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, aminosulfonyl, C₁₋₄alkyl-S(=O)_t, hydroxy, cyano, halogen and amino optionally mono- or disubstituted where the substituents are each independently selected from C₁₋₄alkyl,

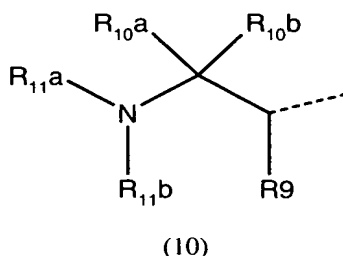
aryl, arylC₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkylC₁₋₄alkyl, Het¹, Het², Het¹C₁₋₄alkyl and Het²C₁₋₄alkyl; and

t is zero, one or two; and

R₆, and R₈ are as defined in step (a) and

13. (Original) The method according to claim 12, wherein

R₁ is a radical of formula (10)



R₉, R_{10a} and R_{10b} are, each independently, hydrogen, C₁₋₄alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, C₃₋₇cycloalkyl, C₂₋₆alkenyl, C₂₋₆alkynyl or C₁₋₄alkyl optionally substituted with aryl, Het¹, Het², C₃₋₇cycloalkyl, C₁₋₄alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)-aminocarbonyl, aminosulfonyl, C₁₋₄alkylS(O)_t, hydroxy, cyano, halogen or amino optionally mono- or disubstituted where the substituents are each independently selected from C₁₋₄alkyl, aryl, arylC₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkyl-C₁₋₄alkyl, Het¹, Het², Het¹C₁₋₄alkyl and Het²C₁₋₄alkyl;

whereby **R₉, R_{10a}** and the carbon atoms to which they are attached may also form a C₃₋₇cycloalkyl radical;

R_{11b} is hydrogen, C₃₋₇cycloalkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, aryl, Het¹, Het² or C₁₋₄alkyl optionally substituted with halogen, hydroxy, C₁₋₄alkylS(=O)_t, aryl, C₃₋₇cycloalkyl, Het¹, Het², amino optionally mono- or disubstituted where the substituents are each independently selected from C₁₋₄alkyl, aryl, arylC₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkylC₁₋₄alkyl, Het¹, Het², Het¹C₁₋₄alkyl and Het²C₁₋₄alkyl;

whereby **R_{11b}** may be linked to the remainder of the molecule via a sulfonyl group;

t is zero, one or two;

L is -C(=O)-, -O-C(=O)-, -NR₁₂-C(=O)-, -O-C₁₋₆alkanediyl-C(=O)-, -NR₁₂-C₁₋₆alkanediyl-C(=O)-, -S(=O)₂-, -O-S(=O)₂-, -NR₁₂-S(=O)₂ whereby either the C(=O) group or the S(=O)₂ group is attached to the NR₂ moiety; whereby the

C₁₋₆alkanediyl moiety is optionally substituted with a substituent selected from hydroxy, aryl, Het¹, and Het²;

R₁₂ is hydrogen, C₁₋₆alkyl, C₂₋₆alkenyl, arylC₁₋₆alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkylC₁₋₆alkyl, aryl, Het¹, Het¹C₁₋₆alkyl, Het², Het²C₁₋₆alkyl; and

R₄ is hydrogen, C₁₋₄alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, C₃₋₇cycloalkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, or C₁₋₆alkyl optionally substituted with one or more substituents selected from aryl, Het¹, Het², C₃₋₇cycloalkyl, C₁₋₄alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(C₁₋₄alkyl)-aminocarbonyl, aminosulfonyl, C₁₋₄alkylS(=O)_t, hydroxy, cyano, halogen and amino optionally mono- or disubstituted where the substituents are selected from C₁₋₄alkyl, aryl, arylC₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkyl-C₁₋₄alkyl, Het¹, Het², Het¹C₁₋₄alkyl and Het²C₁₋₄alkyl.

14. (Currently Amended) The method according to claim 12 ~~any one of claims 12 to 13~~, wherein one or more of the following restrictions apply:

R₁ is hydrogen, Het¹, Het², aryl, Het¹C₁₋₆alkyl, Het²C₁₋₆alkyl, arylC₁₋₆alkyl, more in particular, **R₁** is a saturated or partially unsaturated monocyclic or bicyclic heterocycle having 5 to 8 ring members, which contains one or more heteroatom ring members selected from nitrogen, oxygen or sulfur and which is optionally substituted, or phenyl optionally substituted with one or more substituents;

R₂ is hydrogen;

L is -C(=O)-, -O-C(=O)-, -O-C₁₋₆alkanediyl-C(=O)-, more in particular, **L** is -O-C(=O)- or -O-C₁₋₆alkanediyl-C(=O)-, whereby in each case the C(=O) group is attached to the NR₂ moiety;

R₃ is arylC₁₋₄alkyl, in particular, arylmethyl, more in particular phenylmethyl;

R₄ is optionally substituted C₁₋₆alkyl, in particular unsubstituted C₁₋₆alkyl or C₁₋₆alkyl optionally substituted with one or more substituents selected from aryl, Het¹, Het², C₃₋₇cycloalkyl and amino optionally mono- or disubstituted where the substituents are selected from C₁₋₄alkyl, aryl, Het¹ and Het²;

R₆ is hydrogen or methyl; and

R₈ is hydrogen or methyl.

15. (Currently Amended) The method according to claim 12 ~~any one of claims 12 to 14~~, wherein

R₁-L is Het¹-O-C(=O), Het²-C₁₋₆alkanediyl-O-C(=O), aryl-O-C₁₋₆alkanediyl-C(=O) or aryl-C(=O).

16. (Currently Amended) The method according to claim 12~~any one of claims 12 to 15~~, wherein

NR₆R₈ is amino, monomethylamino or dimethylamino.

17. (Currently Amended) The method according to claim 12~~to any one of claims 12 to 16~~, wherein

R₁ is a Het¹, or a Het¹C₁₋₆alkyl, and

L is -O-C(=O)-;

R₂ is hydrogen;

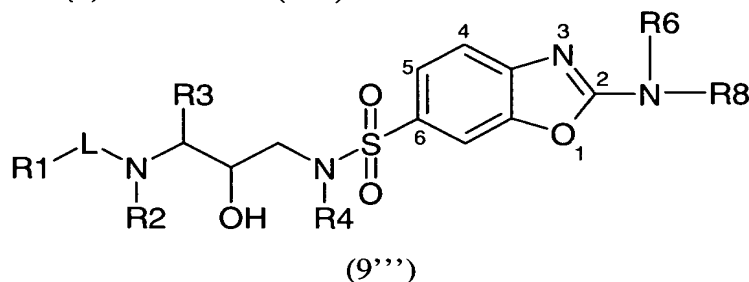
R₃ is phenylmethyl;

R₄ is isobutyl;

R₆ is hydrogen; and

R₈ is hydrogen or methyl.

18. (Currently Amended) The method according to claim 12~~any one of claims 12 to 17~~, wherein compound (9) has formula (9''').



19. (Currently Amended) The method according to claim 12~~any one of claims 12 to 18~~, wherein the ~~characterized in that~~ compound of formula (9) is in the form of a salt selected from trifluoroacetate, fumarate, chloroacetate and methanesulfonate.

20. (Cancelled).